

Darifenacin (hydrobromide)

Catalog No: tcsc0380



Available Sizes

Size: 10mg

Size: 100mg



Specifications

CAS No:

133099-07-7

Formula:

$C_{28}H_{31}BrN_2O_2$

Pathway:

Neuronal Signaling;GPCR/G Protein

Target:

mAChR;mAChR

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

507.46

Product Description

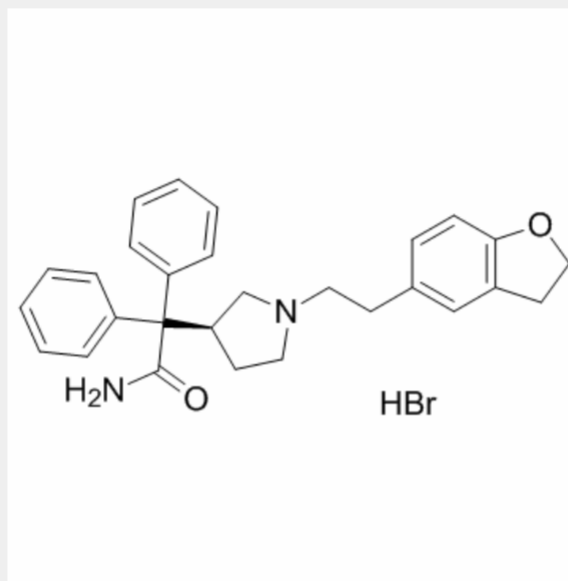
Darifenacin HBr(UK88525) is a selective M3 muscarinic receptor antagonist with pKi of 8.9.

IC50 value: 8.9 (pKi) [1]

Target: M3 receptor

in vitro: Darifenacin exerts non-parallel rightward displacement of the agonist curve and also significant depression of the maximum response (+)-cis-Dioxolane produced concentration-dependent contraction of the isolated bladder of rat [1]. Darifenacin produces a concentration dependent increase in R123 (P-gp probe) accumulation in MDCK cells. Darifenacin stimulates ATPase activity in P-gp membrane in a clear concentration dependent response manner with an estimated ED50 value of 1.6 μ M. Darifenacin (100 nM) shows a significantly greater permeability for darifenacin in the basolateral to apical direction resulting in an efflux ratio in BBMEC monolayers of approximately 2.6 [2].

in vivo: Darifenacin produces dose-dependent inhibition of amplitude of volume-induced bladder contractions(VIBCAMP), producing 35% inhibition at dose of 283.3 nmol/kg and maximal inhibition of approximately 50-55% [1]. Darifenacin (0.1 mg/kg i.v.) reduces bladder afferent activity in both A δ and C fibers in female Sprague-Dawley rats, the decrease in afferent spikes in C fibers may be more pronounced than that in A δ fibers [3].



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